

10/758,794

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FILE 'REGISTRY' ENTERED AT 13:38:59 ON 09 DEC 2005

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L3 STRUCTURE uploaded
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FILE 'CAPLUS' ENTERED AT 13:40:39 ON 09 DEC 2005

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L43 QUE L42 AND L40 AND L41
L44 9 S L43 FUL

FILE 'CAPLUS' ENTERED AT 14:25:53 ON 09 DEC 2005

L45 4 S L44

L45 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:812765 CAPLUS

DOCUMENT NUMBER: 123:227829

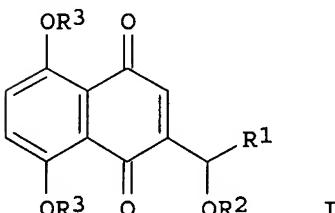
TITLE: Preparation of shikonin analogs as anticancer agents

INVENTOR(S): Ahn, Byung Zun; Baik, Kyong Up
 PATENT ASSIGNEE(S): S. Korea
 SOURCE: PCT Int. Appl., 120 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9502572	A1	19950126	WO 1994-KR91	19940713
W: AM, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, FI, GE, HU, JP, KG, KP, KR, KZ, LK, LT, LV, MD, MG, MN, NO, NZ, PL, PT, RO, RU, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2144518	AA	19950126	CA 1994-2144518	19940713
AU 9472397	A1	19950213	AU 1994-72397	19940713
EP 662073	A1	19950712	EP 1994-921858	19940713
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1112363	A	19951122	CN 1994-190494	19940713
JP 08501806	T2	19960227	JP 1994-504478	19940713
US 5696276	A	19971209	US 1995-403716	19950314
PRIORITY APPLN. INFO.:			KR 1993-13227	A 19930714
			WO 1994-KR91	W 19940713

OTHER SOURCE(S): MARPAT 123:227829

GI



AB Title compds. [I; R1 = alk(en)yl; R2 = H, alkyl, alkanoyl, aroyl, etc.; R3 = H, alkyl] were prepared Thus, I (R1 = CH₂CH₂CMe₂, R3 = H) (II; R2 = H) was O-acylated to give II (R2 = Ac) which gave survival of S-180 sarcoma cell inoculated mice 188% that of controls at 5μmol/kg/day i.p.

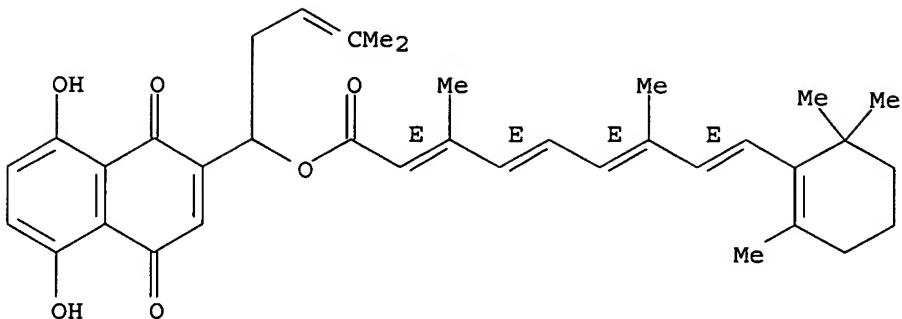
IT 168393-27-9P 168393-28-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of shikonin analogs as anticancer agents)

RN 168393-27-9 CAPLUS

CN Retinoic acid, 1-(1,4-dihydro-5,8-dihydroxy-1,4-dioxo-2-naphthalenyl)-4-methyl-3-pentenyl ester (9CI) (CA INDEX NAME)

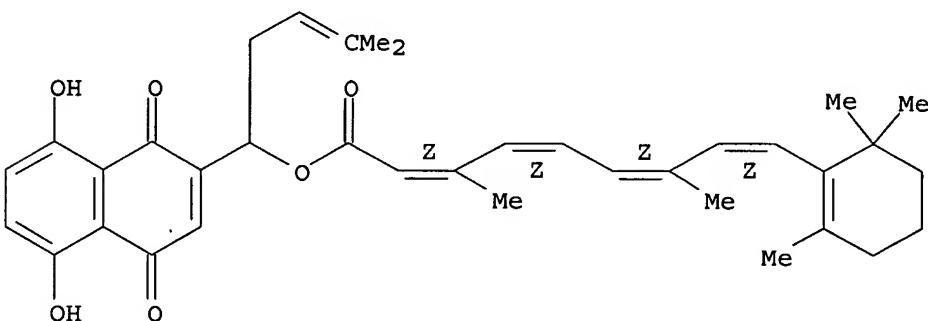
Double bond geometry as shown.



RN 168393-28-0 CAPLUS

CN Retinoic acid, 1-(1,4-dihydro-5,8-dihydroxy-1,4-dioxo-2-naphthalenyl)-4-methyl-3-pentenyl ester, (all-cis) - (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L45 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1995:413297 CAPLUS

DOCUMENT NUMBER: 122:239424

TITLE: Acylshikonin Analogs: Synthesis and Inhibition of DNA Topoisomerase-I

AUTHOR(S): Ahn, Byung-Zun; Baik, Kyong-Up; Kweon, Gi-Ryang; Lim, Kyu; Hwang, Byung-Doo

CORPORATE SOURCE: Colleges of Pharmacy and Medicine, Chungnam National University, Taejon, 305-764, S. Korea

SOURCE: Journal of Medicinal Chemistry (1995), 38(6), 1044-7
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Selective acylation at 1'-OH of shikonin in the presence of dicyclohexylcarbodiimide and 4-(dimethylamino)pyridine gave rise to a good yield of acylshikonin derivs. which were evaluated for inhibitory effect on topoisomerase-I activity. In general, analogs with an acyl group of shorter chain lengths (C₂-C₆) exerted a stronger inhibitory action than those with longer chain lengths (C₇-C₂₀). While halogen substitution at C-2 of the acetyl moiety failed to increase the inhibitory potency, the placement of double bonds in the acyl group (C₅-C₇) augmented the potency remarkably. Of the 32 derivs. evaluated, 15 compds. exhibited a higher inhibitory effect than shikonin. Noteworthy, the inhibitory potency of acetylshikonin, propanoylshikonin, and 4-pentenoylshikonin was approx. 4-fold greater than that of camptothecin. All these data suggest that the size of the acyl moiety is important for the enhancement of potency, and the presence of olefinic double bonds is also beneficial.

IT 162283-95-6P 162425-95-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

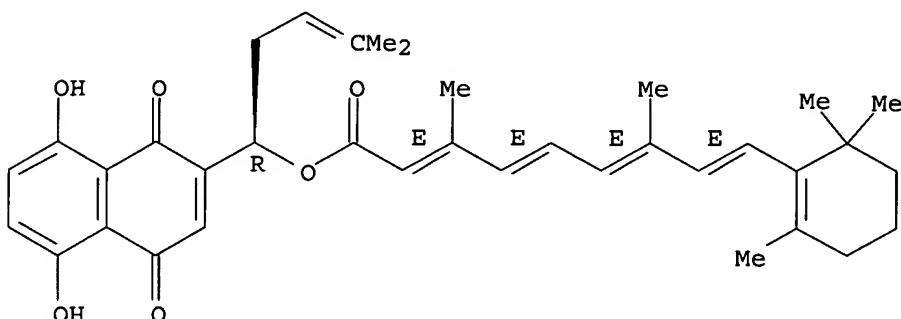
(preparation and DNA topoisomerase-I inhibiting activity of acylshikonins)

RN 162283-95-6 CAPLUS

CN Retinoic acid, 1-(1,4-dihydro-5,8-dihydroxy-1,4-dioxo-2-naphthalenyl)-4-methyl-3-pentenyl ester, [15(R)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

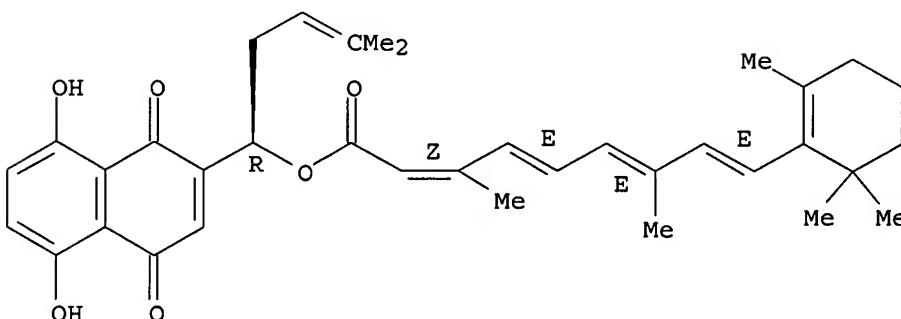


RN 162425-95-8 CAPLUS

CN Retinoic acid, 1-(1,4-dihydro-5,8-dihydroxy-1,4-dioxo-2-naphthalenyl)-4-methyl-3-pentenyl ester, [13-cis,15(R)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



L45 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1994:631159 CAPLUS

DOCUMENT NUMBER: 121:231159

TITLE: Preparation of 1-acyloxyvitamin D derivatives as pharmaceuticals

INVENTOR(S): Tachibana, Yoji

PATENT ASSIGNEE(S): Nisshin Flour Milling Co., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 18 pp.

CODEN: JKXXAF

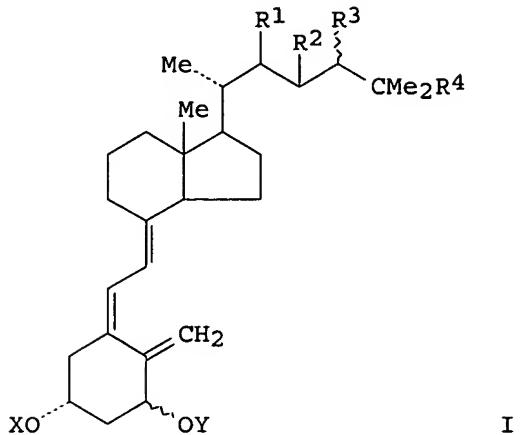
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06009547	A2	19940118	JP 1992-169049	19920626
JP 3182215	B2	20010703		



AB The title compds. I ($X = H$, vitamin A acid residue; $Y = \alpha$ -vitamin A acid residue; $R_1, R_2 = H$; R_1R_2 may form C-C bond; $R_3 = H, C_1-4$ alkyl, OX ; $R_4 = H, OH$), useful for treatment of osteoporosis, skin ulcer, and cancer (no data), are prepared. Treatment of 300 mg all-trans-vitamin A acid with trifluoroacetic anhydride in iso-Pr ether and THF solution of 400 mg I ($R_1-R_4 = H$, $X = \text{tert-butyldimethylsilyl}$, $OY = \alpha\text{-OH}$) at room temperature for 2 h gave 440 mg the corresponding ester, which was treated with Bu_4NF in THF at room temperature for 3 h to afford 230 mg I ($R_1-R_4 = X = H$, $OY = \alpha\text{-retinoxyloxy}$).

IT 157356-13-3P 157356-14-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of)

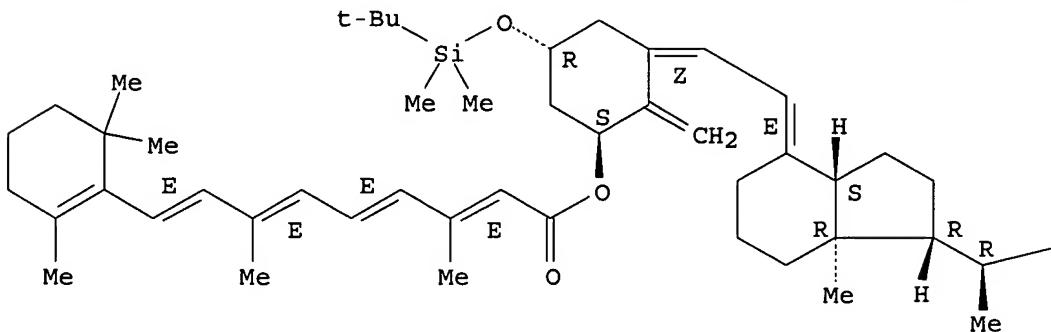
RN 157356-13-3 CAPLUS

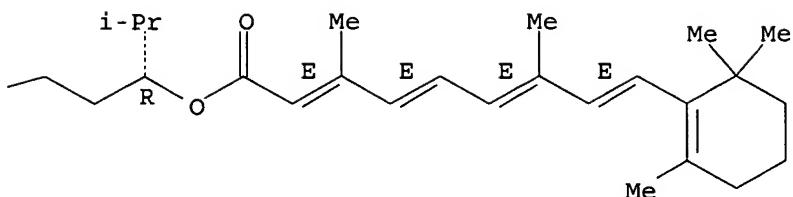
CN Retinoic acid, ($1\alpha,3\beta,5Z,7E,24R$)-3-[[($1,1$ -dimethylethyl)dimethylsilyl]oxy]- $9,10$ -secocholesta- $5,7,10(19)$ -triene- $1,24$ -diyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

PAGE 1-A



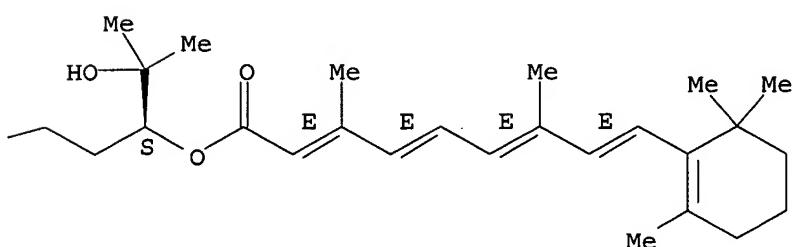
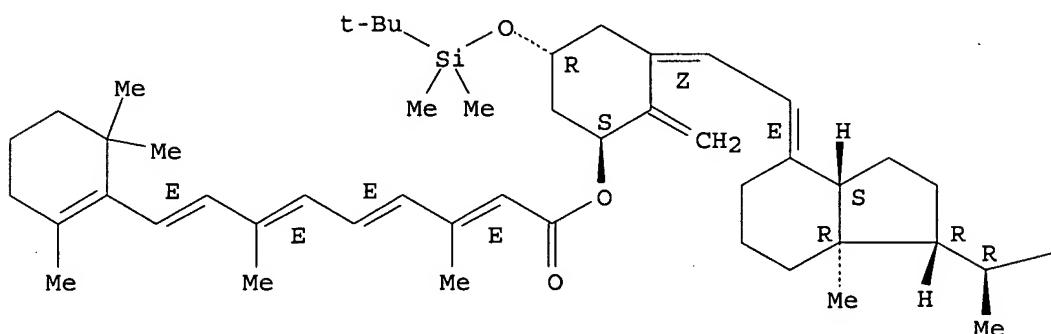


RN 157356-14-4 CAPLUS

CN Retinoic acid, (1 α ,3 β ,5Z,7E,24S)-3-[(1,1-dimethylethyl)dimethylsilyl]oxy]-9,10-secocholesta-5,7,10(19)-triene-1,24-diyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



IT 157355-89-0P 157355-91-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of, as pharmaceutical)

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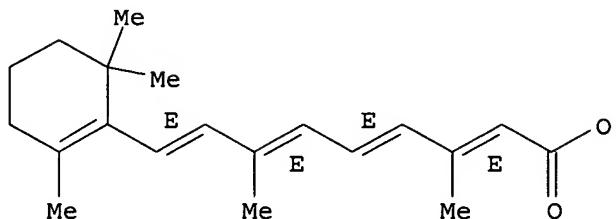
RN 157355-89-0 CAPLUS

CN Retinoic acid, (1 α ,3 β ,5Z,7E,24R)-9,10-secocholesta-5,7,10(19)-triene-1,3,24-triyl ester (9CI) (CA INDEX NAME)

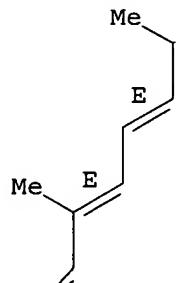
Absolute stereochemistry.

Double bond geometry as shown.

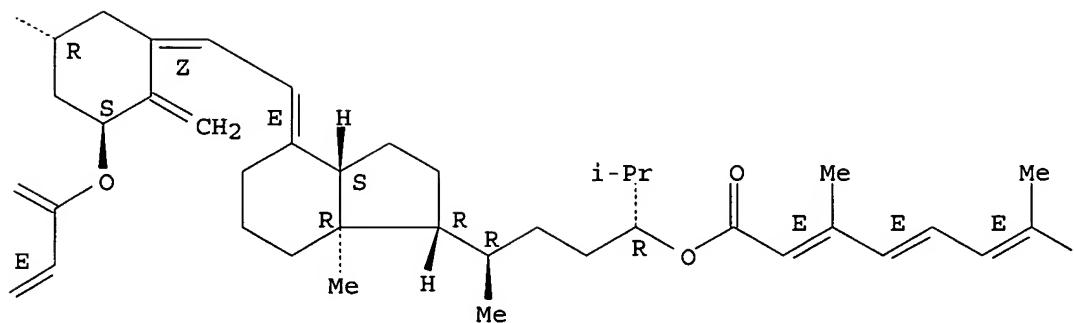
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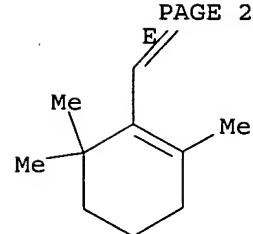
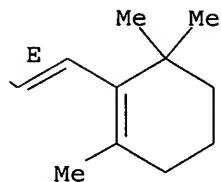


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PAGE 1-B





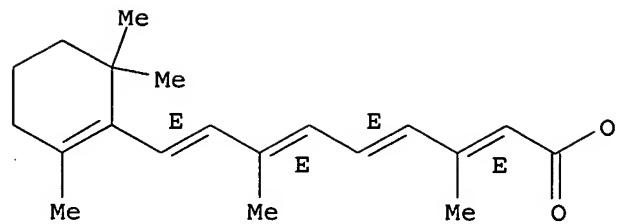
RN 157355-91-4 CAPLUS

CN Retinoic acid, (1 α ,3 β ,5Z,7E,24S)-9,10-secocholesta-5,7,10(19)-triene-1,3,24-triyl ester (9CI) (CA INDEX NAME)

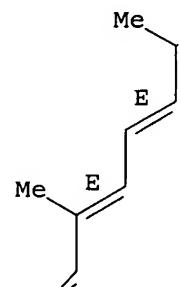
Absolute stereochemistry.

Double bond geometry as shown.

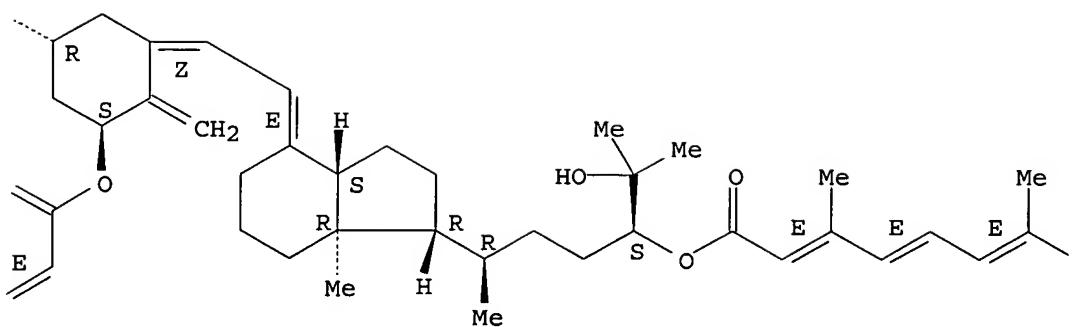
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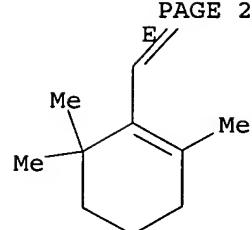
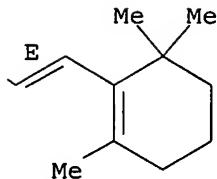


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PAGE 1-B





L45 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1975:593554 CAPLUS
 DOCUMENT NUMBER: 83:193554
 TITLE: Vitamin A acid esters
 INVENTOR(S): Koyama, Hiroyasu; Kato, Teruhiko; Komatsu, Yasuhiro;
 Kawase, Shigeo
 PATENT ASSIGNEE(S): Nisshin Flour Milling Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 50076047	A2	19750621	JP 1973-124476	19731107
JP 58027266	B4	19830608		

PRIORITY APPLN. INFO.: JP 1973-124476 A 19731107
 GI For diagram(s), see printed CA Issue.
 AB Vitamin A acid (I) esters II ($R = C_5\text{-}20$ saturated or unsatd. hydrocarbon residue) were prepared by treating I or its functional derivs. with alcs. ROH. II were effective against AcOH-induced peptic ulcer and skin wound in rats with less i.p. toxicity than I. Thus, a mixture of 3.9 g geraniol, 4.1 g dicyclohexylcarbodiimide, and 0.05 g CuCl was stirred at 80° for 0.5 hr under N and refluxed with 6 g I in 12 ml C_6H_6 at $100\text{-}10^\circ$ for 5 hr to give II ($R = \text{geranyl}$). Also prepared were II where $R = \text{sec-pentyl, pentyl, 4-ethyl-1-isobutyloctyl, farnesyl, and phytyl}$.

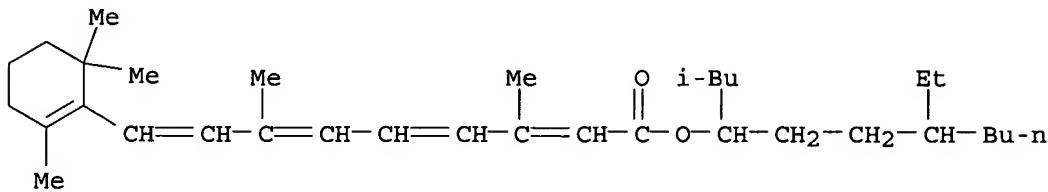
10/758,794

IT 57232-28-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 57232-28-7 CAPLUS

CN Retinoic acid, 4-ethyl-1-(2-methylpropyl)octyl ester (9CI) (CA INDEX
NAME)



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FILE 'REGISTRY' ENTERED AT 20:12:51 ON 09 DEC 2005

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L3 STRUCTURE UPLOADED

L4 QUE L3 AND L1 AND L2

L5 30 S L4 FUL

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L6 20 S L5/P

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L8 SCREEN 1821 OR 1822 OR 1823 OR 1824

L9 STRUCTURE UPLOADED

L10 QUE L9 AND L7 AND L8

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FILE 'USPATFULL' ENTERED AT 20:32:46 ON 09 DEC 2005

L13 3 S E1-13

FILE 'REGISTRY' ENTERED AT 20:49:56 ON 09 DEC 2005

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L15 SCREEN 1821 OR 1822 OR 1823 OR 1824

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L17 QUE L16 AND L14 AND L15

L18 3 S L17 FUL

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L19 3 S L18/P

FILE 'REGISTRY' ENTERED AT 20:51:55 ON 09 DEC 2005

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L21 SCREEN 1821 OR 1822 OR 1823 OR 1824

L22 STRUCTURE UPLOADED

L23 QUE L22 AND L20 AND L21

L24 1 S L23 FUL

10/758, 794

L25 SCREEN 966 AND 1006 AND 1015
L26 SCREEN 1821 OR 1822 OR 1823 OR 1824
L27 STRUCTURE uploaded
L28 QUE L27 AND L25 AND L26
L29 2 S L28 FUL

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L31 7 S L29/P
L32 7 S L30 OR L31